

Serial Number 09/994,971

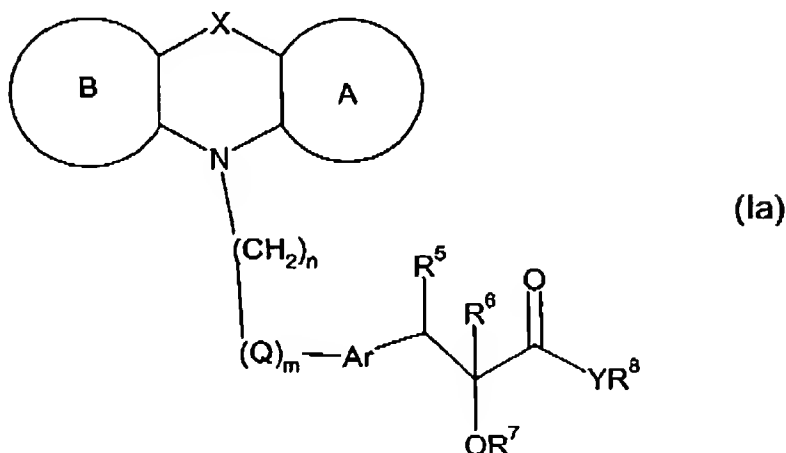
Express Mail Number: EV 246877571 US

Inventor: Jeppesen et al.

## CLAIMS LISTING

## Claims:

1. (Currently amended) A compound of formula (Ia)



wherein ring A, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro, cyano, formyl, or C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl, C<sub>1-12</sub>alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC<sub>1-12</sub>alkyl, amino, acylamino, C<sub>1-12</sub>alkyl-amino, arylamino, aralkylamino, aminoC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonyl, aryloxy carbonyl, aralkoxycarbonyl, C<sub>1-12</sub>alkoxyC<sub>1-12</sub>alkyl, aryloxyC<sub>1-12</sub>alkyl, aralkoxyC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkylthio, thioC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonylamino, aryloxy carbonylamino, aralkoxycarbonylamino, -COR<sup>11</sup>, or -SO<sub>2</sub>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> independently of each other are selected from hydroxy, halogen, perhalomethyl, C<sub>1-6</sub>alkoxy or amino optionally substituted with one or more C<sub>1-6</sub>alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

ring B, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro, cyano, formyl, or C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl, C<sub>1-12</sub>alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC<sub>1-12</sub>alkyl, amino, acylamino, C<sub>1-12</sub>alkyl-amino, arylamino, aralkylamino, aminoC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, C<sub>1-12</sub>alkoxyC<sub>1-12</sub>alkyl, aryloxyC<sub>1-12</sub>alkyl, aralkoxyC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkylthio, thioC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR<sup>11</sup>, or -SO<sub>2</sub>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> independently of each other are selected from hydroxy, halogen, perhalomethyl, C<sub>1-6</sub>alkoxy or amino optionally substituted with one or more C<sub>1-6</sub>alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

X is -O-(CHR<sup>9</sup>)-, -S-(CHR<sup>9</sup>)-, -(NR<sup>9</sup>)-CH<sub>2</sub>-, -CH<sub>2</sub>-(SO)-, -CH<sub>2</sub>-(SO<sub>2</sub>)-, -CH<sub>2</sub>-O-CH<sub>2</sub>-, wherein R<sup>9</sup> is hydrogen, halogen, hydroxy, nitro, cyano, formyl, C<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, C<sub>1-12</sub>alkyl-amino, arylamino, aralkylamino, aminoC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, C<sub>1-12</sub>alkoxyC<sub>1-12</sub>alkyl, aryloxyC<sub>1-12</sub>alkyl, aralkoxyC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkylthio, thioC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR<sup>11</sup>, or -SO<sub>2</sub>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> independently of each other are selected from hydroxy, halogen, C<sub>1-6</sub>alkoxy, amino optionally substituted with one or more C<sub>1-6</sub>alkyl, perhalomethyl or aryl;

Q is -O-, -S-, >SO<sub>2</sub>, >NR<sup>13</sup>, wherein R<sup>13</sup> is hydrogen or C<sub>1-6</sub>alkyl,

Ar represents arylene, heteroarylene, or a divalent heterocyclic group optionally substituted with one or more C<sub>1-6</sub>alkyl or aryl;

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

R<sup>5</sup> represents hydrogen, hydroxy, halogen, C<sub>1-12</sub>alkoxy, C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl or aralkyl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano; or R<sup>5</sup> forms a bond together with R<sup>6</sup>,

R<sup>6</sup> represents hydrogen, hydroxy, halogen, C<sub>1-12</sub>alkoxy, C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl, acyl or aralkyl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano; or R<sup>6</sup> forms a bond together with R<sup>5</sup>,

R<sup>7</sup> represents hydrogen, C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl, aryl, aralkyl, C<sub>1-12</sub>alkoxyC<sub>1-12</sub>alkyl, C<sub>1-12</sub>alkoxycarbonyl, aryloxycarbonyl, C<sub>1-12</sub>alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl or heteroaralkyl groups, optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

R<sup>8</sup> represents hydrogen, C<sub>1-12</sub>alkyl, C<sub>4-12</sub>-alkenynyl, C<sub>2-12</sub>-alkenyl, C<sub>2-12</sub>-alkynyl, aryl, aralkyl, heterocyclyl, heteroaryl or heteroaralkyl groups; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

Y represents oxygen, sulphur or NR<sup>10</sup>, where R<sup>10</sup> represents hydrogen, C<sub>1-12</sub>alkyl, aryl, hydroxyC<sub>1-12</sub>alkyl or aralkyl groups or when Y is NR<sup>10</sup>, R<sup>8</sup> and R<sup>10</sup> may form a 5 or 6 membered nitrogen containing ring, optionally substituted with one or more C<sub>1-6</sub>alkyl;

n is an integer ranging from 1 to 4 and m is an integer ranging from 0 to 1,

**provided that A or B does not represent phenyl;**

or a pharmaceutically acceptable salt thereof.

2. (Previously presented) The compound according to claim 1, wherein ring A, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more hydrogen, halogen, perhalomethyl, hydroxy, cyano, or C<sub>1-7</sub>alkyl, C<sub>4-7</sub>-alkenynyl, C<sub>2-7</sub>-alkenyl, C<sub>2-7</sub>-alkynyl, C<sub>1</sub>-

Serial Number 09/994,971

Express Mail Number: EV 246877571 US

Inventor: Jeppesen et al.

alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC<sub>1-7</sub>alkyl, amino, acylamino, C<sub>1-7</sub>alkyl-amino, arylamino, aralkylamino, aminoC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxyC<sub>1-7</sub>alkyl, aryloxyC<sub>1-7</sub>alkyl, aralkoxyC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkylthio, thioC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR<sup>11</sup>, or -SO<sub>2</sub>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> independently of each other are selected from hydroxy, perhalomethyl or amino optionally substituted with one or more C<sub>1-6</sub>alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy or cyano.

3. (Cancelled)

4. (Cancelled)

5. (Cancelled)

6. (Cancelled)

7. (Previously presented) The compound according to claim 1, wherein ring B, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more hydrogen, halogen, perhalomethyl, hydroxy, cyano, or C<sub>1-7</sub>alkyl, C<sub>4-7</sub>-alkenynyl, C<sub>2-7</sub>-alkenyl, C<sub>2-7</sub>-alkynyl, C<sub>1-7</sub>alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC<sub>1-7</sub>alkyl, amino, acylamino, C<sub>1-7</sub>alkyl-amino, arylamino, aralkylamino, aminoC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxyC<sub>1-7</sub>alkyl, aryloxyC<sub>1-7</sub>alkyl, aralkoxyC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkylthio, thioC<sub>1-7</sub>alkyl, C<sub>1-7</sub>alkoxycarbonyl-amino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR<sup>11</sup>, or -SO<sub>2</sub>R<sup>12</sup>, wherein R<sup>11</sup> and R<sup>12</sup> independently of each other are selected from hydroxy, perhalomethyl or amino optionally substituted with one or more

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

C<sub>1-6</sub>alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy or cyano.

8. (Cancelled)

9. (Cancelled)

10. (Cancelled)

11. (Cancelled)

12. (Cancelled)

13. (Cancelled)

14. (Cancelled)

15. (Cancelled)

16. (Previously presented) The compound according to claim 1 wherein Q is -O- or -S-.

17. (Cancelled)

18. (Previously presented) The compound according to claim 1 wherein Ar represents arylene, heteroarylene, or a divalent heterocyclic group optionally substituted with one or more C<sub>1-6</sub>alkyl or aryl;

R<sup>5</sup> represents hydrogen, hydroxy, halogen, C<sub>1-7</sub>alkoxy, C<sub>1-7</sub>alkyl, C<sub>4-7</sub>-alkenynyl, C<sub>2-7</sub>-alkenyl, C<sub>2-7</sub>-alkynyl; or R<sup>5</sup> forms a bond together with R<sup>6</sup>,

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

$R^6$  represents hydrogen, hydroxy, halogen,  $C_{1-7}$ alkoxy,  $C_{1-7}$ alkyl,  $C_{4-7}$ alkenynyl,  $C_{2-7}$ alkenyl,  $C_{2-7}$ alkynyl; or  $R^6$  forms a bond together with  $R^5$ ,

$R^7$  represents hydrogen,  $C_{1-7}$ alkyl,  $C_{4-7}$ alkenynyl,  $C_{2-7}$ alkenyl,  $C_{2-7}$ alkynyl, aryl, aralkyl,  $C_{1-7}$ alkoxy $C_{1-7}$ alkyl,  $C_{1-7}$ alkoxycarbonyl, aryloxy carbonyl,  $C_{1-7}$ alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl or heteroaralkyl groups;

$R^8$  represents hydrogen,  $C_{1-7}$ alkyl,  $C_{4-7}$ alkenynyl,  $C_{2-7}$ alkenyl,  $C_{2-7}$ alkynyl, aryl, aralkyl, heterocyclyl, heteroaryl or heteroaralkyl;

Y represents oxygen, sulphur or  $NR^{10}$ , where  $R^{10}$  represents hydrogen,  $C_{1-7}$ alkyl, hydroxy $C_{1-7}$ alkyl;

n is an integer ranging from 2 to 3 and m is an integer ranging from 0 to 1.

19. (Cancelled)

20. (Cancelled)

21. (Cancelled)

22. (Cancelled)

23. (Previously presented) The compound according to claim 1 wherein A is 5 membered cyclic ring containing S.

24. (Previously presented) The compound according to claim 1 wherein B is 5 membered cyclic ring containing S.

25. (Cancelled)

26. (Previously presented) The compound according to claim 1 wherein n is 2.

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

27. (Previously presented) The compound according to claim 1 wherein Q is -O-.
28. (Previously presented) The compound according to claim 1 wherein m is 1.
29. (Previously presented) The compound according to claim 1 wherein Ar is phenylene.
30. (Previously presented) The compound according to claim 1 wherein R<sup>6</sup> is H.
31. (Previously presented) The compound according to claim 1 wherein R<sup>7</sup> is ethyl.
32. (Previously presented) The compound according to claim 1 wherein Y is oxygen.
33. (Previously presented) The compound according to claim 1 wherein R<sup>8</sup> is H.
34. (Cancelled)
35. (Cancelled)
36. (Previously presented) A pharmaceutical composition comprising as an active ingredient, the compound according to claim 1 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.
37. (Cancelled)
38. (Cancelled)
39. (Cancelled)
40. (Cancelled)

Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

41. (Cancelled)

42. (Cancelled)

43. (Previously presented) A method for the treatment of conditions mediated by nuclear receptors, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

44. (Previously presented) A method for the treatment of diabetes, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

45. (Cancelled)

46. (Cancelled)

47. (Cancelled)

48. (Cancelled)

49. (Cancelled)

50. (Previously presented) The pharmaceutical composition of claim 36, wherein the compound is in a unit dosage form in the amount of between 0.05 to about 100 mg.

51. (Previously presented) The pharmaceutical composition of claim 36, wherein the compound is in a unit dosage form in the amount of between 0.1 to about 50 mg.



Serial Number 09/994,971  
Express Mail Number: EV 246877571 US  
Inventor: Jeppesen et al.

52. (Previously presented) The method of claim 44, wherein the compound is administered by oral, nasal, transdermal, pulmonary, or parenteral administration.

53. (Previously presented) A method for the treatment of obesity, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

54. (Previously presented) The method of claim 53, wherein the compound is administered by oral, nasal, transdermal, pulmonary, or parenteral administration.

55. (Previously presented) A method for the treatment of conditions mediated by the Peroxisome Proliferator-Activated Receptors (PPAR), the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.